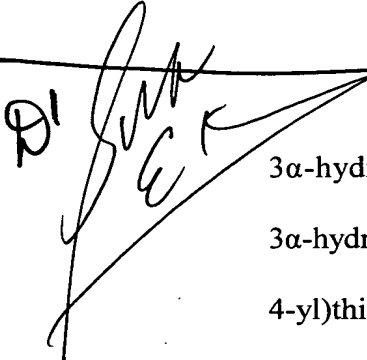


paper. However, if additional extensions of time are necessary to prevent abandonment of this application, then such extensions of time are hereby petitioned under 37 C.F.R. § 1.136(a), and any fees required therefor (including fees for net addition of claims) are hereby authorized to be charged to our Deposit Account No. 19-0036.

### *Amendments*

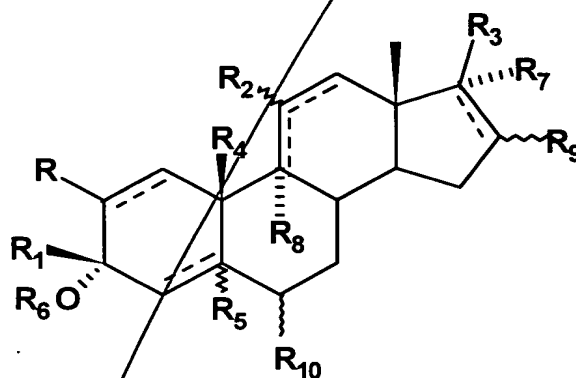
#### *In the Claims:*

Please substitute the following claims <sup>✓</sup>27, <sup>✓</sup>46 and <sup>✓</sup>58 for pending claims 27, 46 and 58:

 27. (thrice amended) A compound selected from the group consisting of 3 $\alpha$ -hydroxy-2 $\beta$ -propoxy-21-(pyrid-4-ylthio)-5 $\alpha$ -pregnan-20-one N-methyl iodide; 3 $\alpha$ -hydroxy-21-(pyrid-4-ylthio)-5 $\alpha$ -pregnan-20-one N-methyl iodide; 3 $\alpha$ -hydroxy-21-(pyrid-4-yl)thio-5 $\beta$ -pregnan-20-one N-methyl iodide; 21-(4'-dimethylaminophenylthio)-3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-21-(4'-nitrophenylthio)-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-21-(4'-nitrophenylsulfinyl)-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-21-(4'-nitrophenylsulfonyl)-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-3 $\beta$ -methyl-21-(4'-trimethylammoniumphenoxy)-5 $\alpha$ -pregnan-20-one iodide salt; 21-(4'-fluorophenylthio)-3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-2 $\beta$ -propoxy-21-(4'-N,N,N-trimethylammoniumphenoxy)-5 $\alpha$ -pregnan-20-one iodide salt; 3 $\alpha$ -hydroxy-3 $\beta$ -methyl-21-(quinolin-6-yloxy)-5 $\alpha$ -pregnan-20-one N-methyl iodide; 21-(4'-fluorophenyl)sulfonyl-3 $\alpha$ -

hydroxy-3 $\beta$ -methoxymethyl-5 $\alpha$ -pregnan-20-one; 3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-21-(4'-pyrrolidinophenyl)sulfonyl-5 $\alpha$ -pregnan-20-one and 21-(4'-aminophenylthio)-3 $\alpha$ -hydroxy-3 $\beta$ -methoxymethyl-5 $\alpha$ -pregnan-20-one.

46. (thrice amended) A compound of the formula:



or a physiologically acceptable salt or 3-ester thereof; wherein

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkynyl or substituted alkynyl;

R<sub>1</sub> is one of hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocynoalkyl, azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl, alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl, acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

$R_2$  is one of hydrogen, alkoxy, a keto group or a dimethylamino group;

$R_3$  is one of  $-C(O)-CH_2-Y-G$ ,  $-C(O)-CH_2-O-D$ ,  $-C(O)-CH_2-O-E$ ,  $-C(O)-CH_2-Z-G$ ,  
or  $-C(O)-CH_2-\bar{Y}'-Z-G$ ;

$\bar{Y}$  is one of S, SO or  $SO_2$ ;

$Y'$  is one of O, S, SO or  $SO_2$ ;

Z is one of alkylene, alkenylene or alkynylene;

G is one of C-attached heteroaryl, optionally substituted aryl, a quaternary ammonium salt or N-oxide of a nitrogen containing heteroaryl group or a quaternary ammonium salt of an amino substituted aryl group;

D is a quaternary ammonium salt or N-oxide of a nitrogen containing heteroaryl group;

E is a quaternary ammonium salt of an amino substituted aryl group;

$R_4$  is one of hydrogen or methyl;

$R_5$ ,  $R_6$ ,  $R_7$ ,  $R_8$ ,  $R_9$  and  $R_{10}$  are each hydrogen; and

the dotted lines all represent single bonds; with the following provisos:

when  $R_3$  is  $-C(O)-CH_2-Y-G$ , and G is C-attached heteroaryl, then  $R_1$  is other than hydrogen or alkyl, and G is other than pyridyl;

when  $R_3$  is  $-C(O)-CH_2-Y-G$ , and G is optionally substituted aryl, then  $R_1$  is other than hydrogen or alkyl;

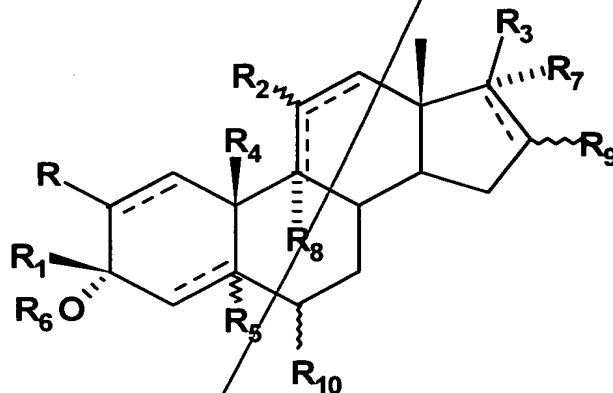
when  $R_3$  is  $-C(O)-CH_2-Y'-Z-G$ , and  $Y'$  is O, and G is aryl, then  $R_1$  is other than hydrogen or alkyl;

when  $R_3$  is  $-C(O)-CH_2-Y'-Z-G$ , and  $Y'$  is S, SO, or  $SO_2$ , and G is aryl, then  $R_1$  is other than hydrogen or alkyl; and

D2  
cont  
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when  $R_3$  is  $-C(O)-CH_2-Z-G$ , then  $R_1$  is other than hydrogen.

58. (twice amended) A compound of the formula:



or a physiologically acceptable salt or 3-ester thereof; wherein

$R$  is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkynyl or substituted alkynyl;

$R_1$  is one of hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocynoalkyl, azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl, alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl, acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

$R_2$  is one of hydrogen, alkoxy, a keto group or a dimethylamino group;

*03 Contd*

$R_3$  is  $-C(O)CH_2S-(4\text{-fluorophenyl})$ ,  $-C(O)CH_2SO_2-(4\text{-fluorophenyl})$ ,  
 $-C(O)CH_2SO_2-(4\text{-pyrrolidinophenyl})$ ,  $-C(O)CH_2CH_2-(4\text{-pyridyl})$ ,  
 $-C(O)CH_2SO-(4\text{-nitrophenyl})$  or  $-C(O)CH_2SO_2-(4\text{-nitrophenyl})$ ;

$R_4$  is one of hydrogen or methyl;

$R_5, R_6, R_7, R_8, R_9$  and  $R_{10}$  are each hydrogen; and

the dotted lines all represent single bonds; with the following provisos:

when  $R_3$  is  $-C(O)CH_2S-(4\text{-fluorophenyl})$ ,  $-C(O)CH_2SO_2-(4\text{-fluorophenyl})$ ,  
 $-C(O)CH_2SO_2-(4\text{-pyrrolidinophenyl})$ ,  $-C(O)CH_2SO-(4\text{-nitrophenyl})$  or  
 $-C(O)CH_2SO_2-(4\text{-nitrophenyl})$ , then  $R_1$  is other than hydrogen or alkyl; and

when  $R_3$  is  $-C(O)CH_2CH_2-(4\text{-pyridyl})$ , then  $R_1$  is other than hydrogen or alkyl.

Please add the following new claims:

*04 Sub E4*

68. (new) The compound of claim 46, wherein  $R_3$  is  $-C(O)-CH_2-Z-G$  or  
 $-C(O)-CH_2-Y'-Z-G$ .

69. (new) The compound of claim 68, wherein  $R_3$  is  $-C(O)-CH_2-Y'-Z-G$ .